19684,644

L9 ANSWER 7 OF 82 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2001:483939 CAPLUS

DOCUMENT NUMBER:

135:61359

TITLE:

Preparation of quinolone derivatives as anti-bacterial agents

INVENTOR(S): Fukumoto, Ryoichi; Kusakabe, Hiroyuki; Tuong, Tsu;

Kimura, Hiroaki; Yanagihara, Satoru; Kato, Masatoshi; Hirosawa, Chisato; Ishizuka, Seiji; Shizume, Fusae

PATENT ASSIGNEE(S): Sato Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 2001181281 A2 20010703 JP 1999-298473 19991012

PRIORITY APPLN. INFO.: JP 1999-290123 A 19991012

OTHER SOURCE(S):

MARPAT 135:61359

GI

$$R^{1}$$
 $CO_{2}R$
 $Q=R^{3}$
 $Q^{1}=R^{5}-N$
 R^{4}
 R^{4}
 R^{6}

The title compds. (I; R = H, carboxy-protecting group; R1 = H, halo; R2 = Q, Q1; wherein R3 = NH2, alkylamino, dialkylamino; R4 = H, halo, alkyl, alkenyl, cycloalkyl, aryl, alkoxy, alkylthio, HO, imino, NH2; R5 = H, amino-protecting group, alkyl, cycloalkyl; R6 = H, halo, lower alkyl, lower alkoxy, lower alkylthio, NO2, cyano, HO, NH2), isomers thereof, or pharmacol. acceptable salts thereof are prepared These quinolone derivs. possess potent anti-bacterial activity against gram pos. and neg. bacteria with reduced side effects in central nervous system such as induction of convulsion (spasm). Thus, (+)-2,3-dihydro-10-(1-methyl-2-tritylisoindolin-5-yl)-7-oxo-7H-pyrido[1,2,3-de][1,3,4]benzoxadiazine-6-carboxylic acid Et ester (preparation given) was dissolved in ethanol and THF, treated with 1 N HC1, and stirred at room temperature for 1 h, distilled under reduced pressure to remove the solvent, treated with H2O, washed with EtOAc, made pH at 11 by adding 1 N NaOH. treated with MeOH, and heated at 50.degree. for 3 h to

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ANSWER 1 OF 82 CAPLUS COPYRIGHT 2002 ACS
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ACCESSION NUMBER:

2002:575041 CAPLUS

DOCUMENT NUMBER:

137:140338

TITLE:

Preparation of aminoethanol derivatives as cholesteryl

ester transfer protein inhibitors for treatment of

hyperlipidemia, etc.

INVENTOR(S):

Kori, Masakuni; Hamamura, Kazumasa; Fuse, Hiromitsu;

Yamamoto, Toshihiro

PATENT ASSIGNEE(S):

Takeda Chemical Industries, Ltd., Japan

SOURCE:

PCT Int. Appl., 748 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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PATENT NO.
                     KIND
                           DATE
                                          APPLICATION NO. DATE
                                          -----
     WO 2002059077
                     Al
                           20020801
                                          WO 2002-JP200532 20020125
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
            LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
            PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
            UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                       JP 2001-19280
                                                       A 20010126
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The title compds. Ar1CH(OR'')CH(CH2Ar2)NR'R (Ar1 represents an optionally substituted aromatic ring group; Ar2 represents a substituted aromatic ring group; OR'' represents optionally protected hydroxy; R represents acyl; and R' represents hydrogen or optionally substituted hydrocarbyll are prepared Compds. of this invention in vitro showed IC50 values of 0.0084 .mu.M to 0.4 .mu.M against cholesteryl ester transfer protein. A process for preparing the title compds. is claimed.

53090-45-2P 73083-19-9P IT

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminoethanol derive. as cholesteryl ester transfer protein inhibitors for treatment of hyperlipidemia, etc.)

RN 53090-45-2 CAPLUS

Benzenepropanoic acid, .beta.-oxo-4-(phenylmethoxy)-, ethyl ester (9CI) ÇN (CA INDEX NAME)